ABSTRACT

The invention is related to water-soluble products and pharmaceutical formulations in solid or liquid form mainly for parenteral use. They consist of or comprise a therapeutically active substance (having low aqueous solubility and a substantial binding affinity to plasma proteins) and a plasma protein fraction in controlled aggregation state, whereby the said active substance and the said protein fraction are bound to each other by way of non-covalent bonds. It also covers processes for the preparation of the product and pharmaceutical formulation by dissolving the water-insoluble active substance in a water-miscible, pharmaceutically acceptable solvent, combining said solution with the aqueous solution of a plasma protein fraction in controlled aggregation state whereby a true solution is obtained containing the said active substance and the said protein fraction bound together by way of non-covalent bonds. Optionally a further pharmaceutically acceptable auxiliary additive - such as a protein aggregation controller and/or a stabilizer - may be present. The organic solvent is eliminated by dialysing, ultrafiltrating, diafiltrating and/or lyophilising. The solid products consisting of the active substance and the protein are also protected. On optional dissolution in water clear, liquid compositions are obtained suitable for direct parenteral or other administration. Method of treatment is also covered. A series of water-insoluble substances is enlisted with appropriate protein fractions to be used.

Figure: none